

10/653,694

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FILE COVERS 1907 - 1 Dec 2004 VOL 141 ISS 23  
FILE LAST UPDATED: 29 Nov 2004 (20041129/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que  
L1 608 SEA FILE=CAPLUS PANTOPRAZOLE  
L2 16 SEA FILE=CAPLUS L1 AND SODIUM(W) SESQUIHYDRATE#

=> d 12 1-16 ibib abs hit

L2 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2004:780663 CAPLUS  
DOCUMENT NUMBER: 141:301424  
TITLE: Crystalline and amorphous solids of  
pantoprazole and processes for their  
preparation  
INVENTOR(S): Finkelstein, Nina; Krochmal, Barnaba; Wizel, Shlomit  
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva  
Pharmaceuticals USA, Inc.  
SOURCE: PCT Int. Appl., 22 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080961	A2	20040923	WO 2004-US7662	20040312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004235904	A1	20041125	US 2004-799376	20040312

PRIORITY APPLN. INFO.:

US 2003-453836P P 20030312  
US 2003-464358P P 20030422

- AB Polymorphic forms of **pantoprazole** and processes of making them are described along with X-ray diffraction patterns.
- TI Crystalline and amorphous solids of **pantoprazole** and processes for their preparation
- AB Polymorphic forms of **pantoprazole** and processes of making them are described along with X-ray diffraction patterns.
- ST **pantoprazole** crystal polymorphism prepn
- IT Polymorphism (crystal)  
(crystalline and amorphous solids of **pantoprazole** and processes for their preparation)
- IT Heating  
Precipitation (chemical)  
(in the preparation of polymorphic crystalline forms of **pantoprazole**)
- IT Phase separation  
(liquid-liquid; in the preparation of polymorphic crystalline forms of **pantoprazole**)
- IT Drug delivery systems  
(liqs.; containing crystalline and amorphous solids of **pantoprazole** and processes for their preparation)
- IT Gastric acid  
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(secretion, inhibitors; containing crystalline and amorphous solids of **pantoprazole** and processes for their preparation)
- IT Gastric acid  
(secretion; crystalline and amorphous solids of **pantoprazole** for the inhibition of)
- IT Drug delivery systems  
(solids; containing crystalline and amorphous solids of **pantoprazole** and processes for their preparation)
- IT Drug delivery systems  
(tablets; containing crystalline and amorphous solids of **pantoprazole** and processes for their preparation)
- IT 102625-70-7P, **Pantoprazole** 138786-67-1P, **Pantoprazole**  
sodium 164579-32-2P, **Pantoprazole sodium**  
**sesquihydrate**  
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(crystalline and amorphous solids of **pantoprazole** and processes for their preparation)
- IT 1310-73-2, Sodium hydroxide, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(crystalline and amorphous solids of **pantoprazole** and processes for their preparation using)
- IT 64-19-7, Acetic acid, reactions  
RL: RGT (Reagent); RACT (Reactant or reagent)  
(crystalline and amorphous solids of **pantoprazole** and processes for their preparation using)
- IT 64-17-5, Ethanol, uses 67-64-1, Acetone, uses 71-23-8, 1-Propanol, uses 75-09-2, Dichloromethane, uses 78-92-2, sec-Butanol 109-99-9, Thf, uses 141-78-6, Ethyl acetate, uses 616-38-6, Dimethyl carbonate 1634-04-4, MTBE 7732-18-5, Water, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(solvent; crystalline and amorphous solids of **pantoprazole** and processes for their preparation using)

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DOCUMENT NUMBER: 141:265937  
TITLE: Process for preparation of crystalline form-1 of  
**pantoprazole sodium sesquihydrate**  
INVENTOR(S): Reddy, Manne Satyanarayana; Eswaraiah, Sajja; Mathad,  
Vijayaviththal Thippannachar; Anilkumar, Pondichetty;  
Chandrashekhar, Elati Ravi Ram; Shanmugam, Govindan  
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's  
Laboratories, Inc.  
SOURCE: U.S. Pat. Appl. Publ., 10 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004186139	A1	20040923	US 2003-653694 IN 2002-MA648	20030902 A 20020902

PRIORITY APPLN. INFO.:

AB An improved process for making crystalline form-I of **pantoprazole sodium sesquihydrate** is provided. **Pantoprazole** free base (50 g) was dissolved in a solution of THF (350 mL) and aqueous sodium hydroxide solution (5.4 g dissolved in 10 mL of water), and stirred at a temperature of 25-35° till the clear solution results. The reaction solution was filtered and washed with THF. Dichloromethane (400 mL) was added slowly to the filtrate over a period of about 1 h and stirred for about 5-6 h to crystallize the solid mass. The separated solid mass was cooled to a temperature of 5-10° and further stirred for about 2-3 h. The solid was filtered, washed with dichloromethane (2x25 mL) and suck dried under vacuum. The wet solid was suspended in dichloromethane (250 mL) and stirred for about 15-30 min. Then the solid was filtered and suck dried under vacuum and further dried at a temperature of 40-50° to afford crystalline form-I of **pantoprazole sodium sesquihydrate**.

TI Process for preparation of crystalline form-1 of **pantoprazole sodium sesquihydrate**

AB An improved process for making crystalline form-I of **pantoprazole sodium sesquihydrate** is provided. **Pantoprazole** free base (50 g) was dissolved in a solution of THF (350 mL) and aqueous sodium hydroxide solution (5.4 g dissolved in 10 mL of water), and stirred at a temperature of 25-35° till the clear solution results. The reaction solution was filtered and washed with THF. Dichloromethane (400 mL) was added slowly to the filtrate over a period of about 1 h and stirred for about 5-6 h to crystallize the solid mass. The separated solid mass was cooled to a temperature of 5-10° and further stirred for about 2-3 h. The solid was filtered, washed with dichloromethane (2x25 mL) and suck dried under vacuum. The wet solid was suspended in dichloromethane (250 mL) and stirred for about 15-30 min. Then the solid was filtered and suck dried under vacuum and further dried at a temperature of 40-50° to afford crystalline form-I of **pantoprazole sodium sesquihydrate**.

ST **pantoprazole sodium sesquihydrate** cryst  
prepns

IT Alcohols, uses  
Ethers, uses

RL: NUU (Other use, unclassified); USES (Uses)  
(C1-4; process for preparation of crystalline form-1 of **pantoprazole sodium sesquihydrate**)

IT Hydrocarbons, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(alicyclic; process for preparation of crystalline form-1 of **pantoprazole**)

sodium sesquihydrate)

IT Hydrocarbons, uses  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (aliphatic; process for preparation of crystalline form-1 of **pantoprazole sodium sesquihydrate**)

IT Solvents  
 (process for preparation of crystalline form-1 of **pantoprazole sodium sesquihydrate**)

IT Ligroine  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (process for preparation of crystalline form-1 of **pantoprazole sodium sesquihydrate**)

IT 60-29-7, Diethyl ether, uses 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 67-66-3, Chloroform, uses 71-23-8, n-Propanol, uses 71-36-3, n-Butanol, uses 75-05-8, Acetonitrile, uses 75-09-2, Dichloromethane, uses 75-65-0, uses 78-92-2, 2-Butanol 108-20-3, Di isopropyl ether 109-99-9, Tetrahydrofuran, uses 110-54-3, Hexane, uses 110-82-7, Cyclohexane, uses 115-10-6, Dimethyl ether 141-78-6, Ethylacetate, uses 142-82-5, n-Heptane, uses 142-96-1, Di butyl ether 291-64-5, Cycloheptane 1634-04-4, Methyl tertiary butyl ether.  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (process for preparation of crystalline form-1 of **pantoprazole sodium sesquihydrate**)

IT 1310-73-2, Sodium hydroxide, reactions 102625-70-7, **Pantoprazole**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (process for preparation of crystalline form-1 of **pantoprazole sodium sesquihydrate**)

IT 164579-32-2P, **Pantoprazole sodium sesquihydrate**  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (process for preparation of crystalline form-1 of **pantoprazole sodium sesquihydrate**)

L2 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:165727 CAPLUS  
 DOCUMENT NUMBER: 141:337371  
 TITLE: Characterization of two **pantoprazole** sodium hydrates  
 AUTHOR(S): Zupancic, V.; Jordan, Kotar B.; Grcman, M.; Ograjsek, N.; Vreker, F.  
 CORPORATE SOURCE: Product supply, Novo mesto, Krka d.d., Novo mesto, 8501, Estonia  
 SOURCE: Farmacevtski Vestnik (Ljubljana, Slovenia) (2003), 54 (Spec. Issue), 409-410  
 CODEN: FMVTAV; ISSN: 0014-8229  
 PUBLISHER: Slovensko Farmacevtsko Drustvo  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The aim of this study was to characterize 2 hydrates of **pantoprazole** sodium, i.e., monohydrate and sesquihydrate by modern anal. techniques such as DSC, Ft-IR and Raman spectroscopic techniques. The monohydrate is thermodynamically less stable than the sesquihydrate.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Characterization of two **pantoprazole** sodium hydrates  
 AB The aim of this study was to characterize 2 hydrates of **pantoprazole** sodium, i.e., monohydrate and sesquihydrate by modern anal. techniques such as DSC, Ft-IR and Raman spectroscopic techniques. The monohydrate is thermodynamically less stable than the sesquihydrate.  
 ST **pantoprazole** sodium hydrate characterization

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IT Contact angle  
Density  
Fusion enthalpy  
Solubility  
Sorption  
(characterization of **pantoprazole** sodium hydrates)  
IT Humidity  
(relative; characterization of **pantoprazole** sodium hydrates)  
IT 164579-32-2, **Pantoprazole sodium sesquihydrate**  
699002-47-6  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES  
(Uses)  
(characterization of **pantoprazole** sodium hydrates)

L2 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:570853 CAPLUS  
DOCUMENT NUMBER: 139:122787  
TITLE: **Pantoprazole cyclodextrin inclusion complexes**  
INVENTOR(S): Giordano, Ferdinando; Marzocchi, Lucia; Moyano, Jose  
Ramon; Rossi, Alessandra  
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany  
SOURCE: PCT Int. Appl., 16 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059393	A1	20030724	WO 2003-EP242	20030113
W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, TN, UA, US, VN, YU, ZA, ZW	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR			
EP 1467770	A1	20041020	EP 2003-701506	20030113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			EP 2002-288	A 20020115
			EP 2002-6454	A 20020322
			WO 2003-EP242	W 20030113

AB An inclusion complex formed from **pantoprazole**, a ATPase inhibitor used in therapy of disorders originating from increased gastric acid secretion, and cyclodextrin is described. For example, phase solubility studies of **pantoprazole** inclusion complexes with  $\beta$ -cyclodextrin, hydroxypropyl  $\beta$ -cyclodextrin (HP $\beta$ -CD), and sodium salt sulfobutyl ether  $\beta$ -cyclodextrin obtained by freeze drying showed that with all three cyclodextrins, a notable increase in the apparent solubility of **pantoprazole** in phosphate buffer solution was observed. Inclusion complexation was not achieved through kneading. Freeze-drying permitted the preparation of an amorphous solid phase with HP $\beta$ -CD and **pantoprazole** sodium from their aqueous solution

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI **Pantoprazole cyclodextrin inclusion complexes**

AB An inclusion complex formed from **pantoprazole**, a ATPase inhibitor used in therapy of disorders originating from increased gastric acid secretion, and cyclodextrin is described. For example, phase solubility studies of **pantoprazole** inclusion complexes with  $\beta$ -cyclodextrin, hydroxypropyl  $\beta$ -cyclodextrin (HP $\beta$ -CD), and

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sodium salt sulfobutyl ether  $\beta$ -cyclodextrin obtained by freeze drying showed that with all three cyclodextrins, a notable increase in the apparent solubility of **pantoprazole** in phosphate buffer solution was observed. Inclusion complexation was not achieved through kneading. Freeze-drying permitted the preparation of an amorphous solid phase with HP $\beta$ -CD and **pantoprazole** sodium from their aqueous solution

ST **pantoprazole** solubilization cyclodextrin complex liophylization

IT Freeze drying

Solubility

Solubilization

(preparation of **pantoprazole**-cyclodextrin inclusion complexes with increased drug solubility)

IT 57-55-6DP, 1,2-Propanediol, ethers with  $\beta$ -cyclodextrin, complexes with **pantoprazole** 7585-39-9DP,  $\beta$ -Cyclodextrin, ethers with propanediol, complexes with **pantoprazole** 102625-70-7DP, **Pantoprazole**, complexes with  $\beta$ -cyclodextrin alkyl ethers 211555-42-9DP, complexes with **pantoprazole** 565177-66-4P 565177-67-5P 565177-68-6P 565177-69-7P 565177-70-0P RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of **pantoprazole**-cyclodextrin inclusion complexes with increased drug solubility)

IT 7585-39-9,  $\beta$ -Cyclodextrin 138786-67-1, **Pantoprazole** sodium 164579-32-2, **Pantoprazole sodium sesquihydrate**

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of **pantoprazole**-cyclodextrin inclusion complexes with increased drug solubility)

IT 102625-70-7P, **Pantoprazole**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of **pantoprazole**-cyclodextrin inclusion complexes with increased drug solubility)

L2 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:845258 CAPLUS

DOCUMENT NUMBER: 137:329475

TITLE: Paste formulations for the oral delivery of acid-labile drugs especially proton pump inhibitors

INVENTOR(S): Dietrich, Rango; Linder, Rudolf

PATENT ASSIGNEE(S): BYK Gulden Lomberg Chemische Fabrik GmbH, Germany

SOURCE: Ger., 8 pp.

CODEN: GWXXAW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10061135	C1	20021107	DE 2000-10061135	20001207
PRIORITY APPLN. INFO.:			DE 2000-10061135	20001207

AB The invention concerns the formulation of acid-labile drugs, especially proton pump inhibitors as pastes for oral administration; the formulation is prepared by dispensing the drug in a paraffin and glyceride mixture and forming microparticles; upon application the microparticle drug dosage is mixed with a gelation agent in the presence of water to form a paste. Thus 47 g solid paraffin, 40 g glycerin palmitate and 3 g sitosterol were melt and mixed at 100°C. After cooling the mixture to 55-60°C, 10 g lansoprazole were added and homogeneously suspended. The suspension was processed in a prilling unit; pressed through a 200  $\mu$ m orifice at 0.1 bar while applying 390 Hz vibration; the formed

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droplets were solidified with cold air at -30°C. To prepare the paste 9 g of the lansoprazole -containing microparticles were mixed with 0.4 g xanthan gum and 10 mL water in a syringe.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

- IT 57-88-5, Cholesterol, biological studies 83-46-5 555-45-3,  
Glycerintrimyristate 11114-20-8, κ-Carrageenan 11138-66-2,  
Xanthan gum 11140-06-0, Glycerinpalmitate 95382-33-5, Omeprazole  
magnesium 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole  
164579-32-2, **Pantoprazole sodium sesquihydrate**  
RL: PEP (Physical, engineering or chemical process); PYP (Physical  
process); THU (Therapeutic use); BIOL (Biological study); PROC (Process);  
USES (Uses)  
(paste formulations for oral delivery of acid-labile drugs especially proton  
pump inhibitors)
- IT 9000-01-5, Acacia gum 9000-69-5, Pectin 9004-34-6, Cellulose,  
biological studies 9005-32-7, Alginic acid 102625-70-7,  
**Pantoprazole** 106392-12-5, Poloxamer  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(paste formulations for oral delivery of acid-labile drugs especially proton  
pump inhibitors)

L2 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:807933 CAPLUS

DOCUMENT NUMBER: 137:316073

TITLE: Rapidly disintegrating tablets including acid-labile  
proton pump inhibitors

INVENTOR(S): Dietrich, Rango; Ney, Hartmut; Linder, Rudolf

PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SOURCE: Ger., 8 pp.

CODEN: GWXXAW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10061136	C1	20021024	DE 2000-10061136	20001207
			DE 2000-10061136	20001207

PRIORITY APPLN. INFO.:

AB The invention concerns rapidly disintegrating tablets for oral administration that include an acid-labile proton pump inhibitor; the proton pump inhibitor is enclosed in units with a matrix; multiple units are mixed with excipients for pressing tablets. Matrix components are paraffin, triglycerides; excipients are disintegrants, fillers that are selected from the group of aldols and basic substances; used are e.g. sorbite, mannite, calcium carbonate, sodium carbonate. Thus 17.5 g glyceryltrimyristate, 67.5 g solid paraffin, 5 g cholesterol were heated to ca. 100°C to melt until clearness; the melt was cooled to 55-65°C and 10 g **pantoprazole** were added. The hot melt was sprayed and cooled; the formed 50-700 μm particles were used for the formulation of the rapidly disintegrating tablets. A tablet contained (mg): **pantoprazole**-containing particles 400.0; Destab 95SE 1060.8; Pearlitol 300DC 387.2; Crosspovidone 136.0; magnesium stearate 16.0.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The invention concerns rapidly disintegrating tablets for oral administration that include an acid-labile proton pump inhibitor; the proton pump inhibitor is enclosed in units with a matrix; multiple units are mixed with excipients for pressing tablets. Matrix components are paraffin, triglycerides; excipients are disintegrants, fillers that are selected from the group of aldols and basic substances; used are e.g.

sorbite, mannite, calcium carbonate, sodium carbonate. Thus 17.5 g glyceryltrimyristate, 67.5 g solid paraffin, 5 g cholesterol were heated to ca. 100°C to melt until clearness; the melt was cooled to 55-65°C and 10 g **pantoprazole** were added. The hot melt was sprayed and cooled; the formed 50-700 µm particles were used for the formulation of the rapidly disintegrating tablets. A tablet contained (mg): **pantoprazole**-containing particles 400.0; Destab 95SE 1060.8; Pearlitol 300DC 387.2; Crosspovidone 136.0; magnesium stearate 16.0. 540-10-3, Cetylpalmitate 555-44-2, Glyceryltripalmitate 555-45-3, Glyceryltrimyristate 589-68-4, Glyceryl myristate 102625-70-7, **Pantoprazole** 434943-29-0, Pearlitol 300DC 434943-30-3, Destab 95SE

IT RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(rapidly disintegrating tablets including acid-labile proton pump inhibitors)

IT 50-70-4, Sorbit, biological studies 69-65-8, D-Mannitol 471-34-1, Calcium carbonate, biological studies 497-19-8, Sodium carbonate, biological studies 73590-58-6, Omeprazole 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole 164579-32-2, **Pantoprazole**

**sodium sesquihydrate** 446027-19-6 471293-63-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(rapidly disintegrating tablets including acid-labile proton pump inhibitors)

L2 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:449486 CAPLUS

DOCUMENT NUMBER: 137:24335

TITLE: Rapidly disintegrating tablet comprising an acid-labile active ingredient

INVENTOR(S): Dietrich, Rango; Linder, Rudolf; Ney, Hartmut

PATENT ASSIGNEE(S): BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045694	A1	20020613	WO 2001-EP14340	20011206
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2430829	AA	20020613	CA 2001-2430829	20011206
AU 2002021939	A5	20020618	AU 2002-21939	20011206
EP 1341528	A1	20030910	EP 2001-999360	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015986	A	20031223	BR 2001-15986	20011206
JP 2004514737	T2	20040520	JP 2002-547480	20011206
US 2004110661	A1	20040610	US 2003-433397	20030603
PRIORITY APPLN. INFO.:			EP 2000-126807	A 20001207
			WO 2001-EP14340	W 20011206

AB A rapidly disintegrating tablet for oral administration of acid-labile active ingredients is described. The rapidly disintegrating tablet for oral administration of an acid-labile active ingredient comprises a plurality of individual active ingredient units together with

pharmaceutical excipients, where the acid-labile active ingredient is present in the individual active ingredient units in a matrix composed of a mixture comprising at least one solid paraffin and one or more substances from the group of fatty alc., triglyceride and fatty acid ester, and where excipients which, on oral intake of the tablet, bring about rapid disintegration of the tablet are present. An active ingredient units contained solid paraffin, cetyl alc., stearylamine, povidone, and **pantoprazole sodium sesquihydrate.**

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A rapidly disintegrating tablet for oral administration of acid-labile active ingredients is described. The rapidly disintegrating tablet for oral administration of an acid-labile active ingredient comprises a plurality of individual active ingredient units together with pharmaceutical excipients, where the acid-labile active ingredient is present in the individual active ingredient units in a matrix composed of a mixture comprising at least one solid paraffin and one or more substances from the group of fatty alc., triglyceride and fatty acid ester, and where excipients which, on oral intake of the tablet, bring about rapid disintegration of the tablet are present. An active ingredient units contained solid paraffin, cetyl alc., stearylamine, povidone, and **pantoprazole sodium sesquihydrate.**

L2 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:449485 CAPLUS

DOCUMENT NUMBER: 137:24334

TITLE: Pharmaceuticals comprising an active agent dispersed on a matrix

INVENTOR(S): Dietrich, Rango; Linder, Rudolf; Ney, Hartmut

PATENT ASSIGNEE(S): BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO..	KIND	DATE	APPLICATION NO.	DATE
WO 2002045693	A1	20020613	WO 2001-EP14307	20011206
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2430828	AA	20020613	CA 2001-2430828	20011206
AU 2002016073	A5	20020618	AU 2002-16073	20011206
EE 200300235	A	20030815	EE 2003-235	20011206
EP 1341527	A1	20030910	EP 2001-999359	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, LI, LU, NL, SE, MC, PT, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015987	A	20031223	BR 2001-15987	20011206
JP 2004514736	T2	20040520	JP 2002-547479	20011206
NO 2003002593	A	20030805	NO 2003-2593	20030606
US 2004058896	A1	20040325	US 2003-433398	20030911
PRIORITY APPLN. INFO.:			EP 2000-126847	A 20001207
			WO 2001-EP14307	W 20011206

AB The present invention relates to the field of pharmaceutical technol. and describes a novel advantageous formulation for an active ingredient. The novel formulation is suitable for producing a large number of pharmaceutical dosage forms. In the new formulation, an active ingredient is present essentially uniformly dispersed in an excipient matrix composed of 1 or

more excipients selected from the group of fatty alc., triglyceride, partial glyceride and fatty acid ester. Cetyl alc. 50, glyceryl monostearate 5, cetyl palmitate 10, glyceryl tristearate 10 and paraffin 24.5 g are converted into a clear melt at about 90°. Roflumilast (0.5 g) is added, and the mixture is stirred until it is a clear solution. The clear melt is prilled at about 70°C in a suitable vibration prilling unit.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 63-42-3, Lactose 77-92-9, Citric acid, biological studies 83-46-5, β-Sitosterol 124-30-1, Stearylamine 497-19-8, Sodium carbonate, biological studies 540-10-3, Cutina CP 555-43-1, Glyceryl tristearate 555-44-2, Dynasan 116 555-45-3, Dynasan 114 4070-80-8, Sodium stearyl fumarate 9003-39-8, Povidone 9004-57-3, Ethyl cellulose 9005-25-8, Starch, biological studies 9063-38-1, Sodium Carboxymethyl Starch 11138-66-2, Xanthan 22839-47-0, Aspartame 25086-89-9, Vinylacetate-1-vinyl-2-pyrrolidone copolymer 31566-31-1, Glyceryl monostearate 36653-82-4, Cetyl alcohol 64044-51-5, Lactose monohydrate 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole 149202-17-5, Cellactose 162401-32-3, Roflumilast 164579-32-2, **Pantoprazole sodium sesquihydrate** 199387-73-0 207993-12-2, Pumafentrine 261944-46-1 434943-29-0, Pearlitol 300DC 434943-30-3, Destab 95SE

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical comprising active dispersed on matrix)

L2 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:449484 CAPLUS

DOCUMENT NUMBER: 137:37640

TITLE: Pharmaceutical preparation in the form of a suspension comprising an acid-labile active ingredient such as proton pump inhibitors

INVENTOR(S): Dietrich, Rango; Linder, Rudolf

PATENT ASSIGNEE(S): BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045692	A1	20020613	WO 2001-EP14254	20011205
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2430824	AA	20020613	CA 2001-2430824	20011205
AU 2002034545	A5	20020618	AU 2002-34545	20011205
EP 1341523	A1	20030910	EP 2001-985365	20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015989	A	20040113	BR 2001-15989	20011205
JP 2004514735	T2	20040520	JP 2002-547478	20011205
US 2004052832	A1	20040318	US 2003-433305	20030924
PRIORITY APPLN. INFO.:			EP 2000-126829	A. 20001207
			WO 2001-EP14254	W 20011205

AB The present invention relates to the field of pharmaceutical technol. and describes a novel pharmaceutical preparation in the form of a suspension comprising an acid-labile active ingredient, in particular an acid-labile

proton pump inhibitor. The invention also relates to processes for producing the suspension. The suspension is particularly suitable for administering acid-labile active ingredients to people who have difficulty taking solid dosage forms such as tablets or capsules. For example, 50 g of solid paraffin, 34.9 g of cetyl alc. and 0.1 g of stearylamine were converted into a clear melt and 5.0 g of povidone was dissolved in the clear melt. At a temperature between 56-60°, 10.0 g of

**pantoprazole sodium sesquihydrate** was added

and suspended homogeneously. The suspension was prilled in the molten state, and the drops thus produced were solidified in a cooling zone.

Then, 0.1 g of cyclamate sodium and 0.15 g of sodium benzoate were dissolved in 100 mL of water, 4.0 g of the solidified preparation was then stirred into the solution, 0.2 g of xanthan was added, and the mixture was stirred until uniform swelling was achieved. Flavors may be added if desired.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The present invention relates to the field of pharmaceutical technol. and describes a novel pharmaceutical preparation in the form of a suspension comprising an acid-labile active ingredient, in particular an acid-labile proton pump inhibitor. The invention also relates to processes for producing the suspension. The suspension is particularly suitable for administering acid-labile active ingredients to people who have difficulty taking solid dosage forms such as tablets or capsules. For example, 50 g of solid paraffin, 34.9 g of cetyl alc. and 0.1 g of stearylamine were converted into a clear melt and 5.0 g of povidone was dissolved in the clear melt. At a temperature between 56-60°, 10.0 g of **pantoprazole sodium sesquihydrate** was added and suspended homogeneously. The suspension was prilled in the molten state, and the drops thus produced were solidified in a cooling zone. Then, 0.1 g of cyclamate sodium and 0.15 g of sodium benzoate were dissolved in 100 mL of water, 4.0 g of the solidified preparation was then stirred into the solution, 0.2 g of xanthan was added, and the mixture was stirred until uniform swelling was achieved. Flavors may be added if desired.

IT 57-88-5, Cholesterol, biological studies 83-46-5,  $\beta$ -Sitosterol  
 110-44-1, Sorbic acid 124-30-1, Stearylamine 139-05-9, Cyclamate sodium 532-32-1, Sodium benzoate 540-10-3, Cetyl palmitate 555-43-1, Tristearin 555-44-2, Dynasan 116 555-45-3, Dynasan 114 822-16-2, Sodium stearate 9003-39-8, Polyvinylpyrrolidone 9004-34-6D, Cellulose, ethers 9004-57-3, Ethyl cellulose 9004-65-3, Hydroxypropyl methyl cellulose 9005-32-7, Alginic acid 9005-32-7D, Alginic acid, salts 11138-66-2, Xanthan gum 25086-89-9, Vinylpyrrolidone-vinyl acetate copolymer 36653-82-4, Cetyl alcohol 95382-33-5, Omeprazole magnesium 102625-70-7, **Pantoprazole** 103577-45-3, Lansoprazole 117976-89-3, Rabeprazole 164579-32-2 199387-73-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation of oral suspensions for acid-labile proton pump inhibitors)

L2 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:449478 CAPLUS

DOCUMENT NUMBER: 137:24329

TITLE: Pharmaceutical preparation in the form of a paste comprising an acid-labile active ingredient

INVENTOR(S): Dietrich, Rango; Linder, Rudolf

PATENT ASSIGNEE(S): BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045686	A2	20020613	WO 2001-EP14253	20011205
WO 2002045686	A3	20021212		
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2430816	AA	20020613	CA 2001-2430816	20011205
AU 2002031654	A5	20020618	AU 2002-31654	20011205
EP 1341524	A2	20030910	EP 2001-991781	20011205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015985	A	20031223	BR 2001-15985	20011205
JP 2004514733	T2	20040520	JP 2002-547472	20011205
US 2004101558	A1	20040527	US 2003-433304	20030603
PRIORITY APPLN. INFO.:			EP 2000-126828	A 20001207
			WO 2001-EP14253	W 20011205

AB The present invention relates to the field of pharmaceutical technol. and describes a pharmaceutical preparation in the form of a paste comprising an acid-labile active ingredient, in particular an acid-labile proton pump inhibitor. The invention also relates to processes for producing the paste. The paste is particularly suitable for administering acid-labile active ingredients to animals or to people who have difficulty taking solid dosage forms such as tablets or capsules. A composition was prepared containing solid paraffin, cetyl alc., stearylamine, povidone, and **pantoprazole sodium sesquihydrate**.

AB The present invention relates to the field of pharmaceutical technol. and describes a pharmaceutical preparation in the form of a paste comprising an acid-labile active ingredient, in particular an acid-labile proton pump inhibitor. The invention also relates to processes for producing the paste. The paste is particularly suitable for administering acid-labile active ingredients to animals or to people who have difficulty taking solid dosage forms such as tablets or capsules. A composition was prepared containing solid paraffin, cetyl alc., stearylamine, povidone, and **pantoprazole sodium sesquihydrate**.

ST pharmaceutical paste acid labile drug; **pantoprazole** paste

IT 102625-70-7, **Pantoprazole**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical preparation in the form of a paste comprising an acid-labile active ingredient)

L2 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:880939 CAPLUS

DOCUMENT NUMBER: 134:46785

TITLE: Novel preparation and administration form comprising an acid-labile active compound

INVENTOR(S): Dietrich, Rango; Linder, Rudolf

PATENT ASSIGNEE(S): Byk Gulden, Germany

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074654	A1	20001214	WO 2000-EP4958	20000531
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GD, GE,				

HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG,  
 MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN,  
 YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 CA 2376202 AA 20001214 CA 2000-2376202 20000531  
 BR 2000011347 A 20020319 BR 2000-11347 20000531  
 EP 1187601 A1 20020320 EP 2000-935151 20000531  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 TR 200103527 T2 20020422 TR 2001-200103527 20000531  
 JP 2003501377 T2 20030114 JP 2001-501191 20000531  
 EE 200100660 A 20030415 EE 2001-660 20000531  
 AU 775995 B2 20040819 AU 2000-50741 20000531  
 BG 106165 A 20020930 BG 2001-106165 20011203  
 ZA 2001010000 A 20021003 ZA 2001-10000 20011205  
 NO 2001005980 A 20020123 NO 2001-5980 20011206  
 HR 2002000006 A1 20030430 HR 2002-6 20020104  
 PRIORITY APPLN. INFO.: EP 1999-110865 A 19990607  
 WO 2000-EP4958 W 20000531

AB Novel administration forms and preps. for acid-labile active compds. are described. The novel administration forms contain individual active compound units, the active compound being present in the active compound units in a matrix made of a mixture comprising at least one fatty alc. and at least one solid paraffin, in a matrix made of a mixture of a triglyceride and at least one solid paraffin or in a matrix made of a mixture comprising at least one fatty acid ester and at least one solid paraffin. In particular, the active compound units are microspheres which can be produced by prilling. Solid paraffin 50, cetyl alc. 34.9, and stearylamine 0.1 g were fused to give a clear mixture Povidone 5 g were dissolved in the clear melt and 10 g **pantoprazole sodium sesquihydrate** were added and homogeneously suspended at 56-60°. The suspension was prilled in the molten state and the drops thus formed were solidified in a cooling zone.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Novel administration forms and preps. for acid-labile active compds. are described. The novel administration forms contain individual active compound units, the active compound being present in the active compound units in a matrix made of a mixture comprising at least one fatty alc. and at least one solid paraffin, in a matrix made of a mixture of a triglyceride and at least one solid paraffin or in a matrix made of a mixture comprising at least one fatty acid ester and at least one solid paraffin. In particular, the active compound units are microspheres which can be produced by prilling. Solid paraffin 50, cetyl alc. 34.9, and stearylamine 0.1 g were fused to give a clear mixture Povidone 5 g were dissolved in the clear melt and 10 g **pantoprazole sodium sesquihydrate** were added and homogeneously suspended at 56-60°. The suspension was prilled in the molten state and the drops thus formed were solidified in a cooling zone.

ST acid labile drug matrix oral microsphere; **pantoprazole** paraffin wax cetanol oral microsphere

IT 57-87-4, Ergosterol 57-88-5, Cholesterol, biological studies 77-86-1, TRIS 79-63-0, Lanosterol 83-46-5 83-48-7, Stigmasterol 109-89-7, Diethylamine, biological studies 121-44-8, Triethylamine, biological studies 124-30-1, Stearylamine 474-62-4, Campesterol 474-67-9, Brassicasterol 497-19-8, Sodium carbonate, biological studies 506-87-6, Ammonium carbonate 540-10-3, Cetyl palmitate 555-44-2, Glyceryl tripalmitate 555-45-3, Glyceryl trimyristate 6284-40-8, Meglumine 9003-20-7, Polyvinyl acetate 9003-39-8, Povidone 25086-15-1, Methacrylic acid-methyl methacrylate copolymer 25086-89-9,

Vinyl acetate-vinylpyrrolidone copolymer 28572-98-7, Ethyl methacrylate-methacrylic acid copolymer 36653-82-4, Cetyl alcohol  
 95382-33-5, Omeprazole magnesium 102625-70-7, **Pantoprazole**  
 103577-45-3, Lansoprazole 164579-32-2 199387-73-0  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (microspheres containing acid-labile active compds. in solid matrixes)

L2 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 2000:154411 CAPLUS  
 DOCUMENT NUMBER: 132:227551  
 TITLE: Spectrophotometric methods for the determination of lansoprazole and **pantoprazole sodium sesquihydrate**  
 AUTHOR(S): Moustafa, Azza A. M.  
 CORPORATE SOURCE: Department of Analytical Chemistry, Faculty of Pharmacy, Cairo University, Cairo, Egypt  
 SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2000), 22(1), 45-48  
 CODEN: JPBADA; ISSN: 0731-7085  
 PUBLISHER: Elsevier Science B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Spectrophotometric procedures for determination of two irreversible proton pump inhibitors, lansoprazole (I) and **pantoprazole sodium sesquihydrate** (II) are presented. Two methods were based on charge transfer complexation reaction of these drugs, where they act as n-donors, with either  $\pi$  acceptor 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (DDQ) and with  $\sigma$  acceptor as iodine. A third method was also investigated depending on ternary complex formation with eosin and copper (II). The colored products were quantified spectrophotometrically using absorption bands at 457 nm for DDQ (method A) at 293 and 359 nm for iodine (method B) and at 549 nm using ternary complex formation (method C), for both drugs. The molar combining ratio and the optimum assay conditions were studied. These methods determined the lansoprazole in concentration ranges from 10 to 90, 1.48 to 6.65 and 3.69 to 16.61  $\mu\text{g ml}^{-1}$  with mean percentage recovery 99.63% for DDQ, 99.71%, 99.18% for iodine and 99.76% for ternary complex and with relative standard deviation 0.11, 0.24, 0.13 and 0.36%, resp. For **pantoprazole**, the concentration ranges were 10-60, 17.7-141.6 and 4.3-25.9  $\mu\text{g ml}^{-1}$  with mean percentage recovery 99.51, 98.97, 99.84 and 99.46% and relative standard deviation 0.53, 1.21, 0.65, 0.81% for the three mentioned methods, resp. Investigation of the formed complexes was made with respect to its composition, molar ratio of the reaction, association constant KCAD, molar absorptivity  $\epsilon_{\lambda}AD$  and free energy change  $\Delta G$  for methods (A) and (B). The proposed methods have been applied successfully to the anal. of the cited drugs either in pure form or in pharmaceutical formulations, with good accuracy and precision, compared statistically with those given by the reported methods. They are recommended for quality control and routine anal.

TI Spectrophotometric methods for the determination of lansoprazole and **pantoprazole sodium sesquihydrate**

AB Spectrophotometric procedures for determination of two irreversible proton pump inhibitors, lansoprazole (I) and **pantoprazole sodium sesquihydrate** (II) are presented. Two methods were based on charge transfer complexation reaction of these drugs, where they act as n-donors, with either  $\pi$  acceptor 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (DDQ) and with  $\sigma$  acceptor as iodine. A third method was also investigated depending on ternary complex formation with eosin and copper (II). The colored products were quantified spectrophotometrically using absorption bands at 457 nm for DDQ (method A) at 293 and 359 nm for iodine (method B) and at 549 nm using ternary complex formation (method C), for both drugs. The molar combining ratio

and the optimum assay conditions were studied. These methods determined the lansoprazole in concentration ranges from 10 to 90, 1.48 to 6.65 and 3.69 to 16.61  $\mu\text{g ml}^{-1}$  with mean percentage recovery 99.63% for DDQ, 99.71%, 99.18% for iodine and 99.76% for ternary complex and with relative standard deviation 0.11, 0.24, 0.13 and 0.36%, resp. For **pantoprazole**, the concentration ranges were 10-60, 17.7-141.6 and 4.3-25.9  $\mu\text{g ml}^{-1}$  with mean percentage recovery 99.51, 98.97, 99.84 and 99.46% and relative standard deviation 0.53, 1.21, 0.65, 0.81% for the three mentioned methods, resp. Investigation of the formed complexes was made with respect to its composition, molar ratio of the reaction, association constant KCAD, molar absorptivity  $\epsilon_{\lambda\text{AD}}$  and free energy change  $\Delta G$  for methods (A) and (B). The proposed methods have been applied successfully to the anal. of the cited drugs either in pure form or in pharmaceutical formulations, with good accuracy and precision, compared statistically with those given by the reported methods. They are recommended for quality control and routine anal.

ST lansoprazole **pantoprazole** detn spectrophotometry complexation  
 IT Spectrophotometry (spectrophotometric methods for determination of lansoprazole and **pantoprazole** in pure and dosage forms)  
 IT 102625-70-7, **Pantoprazole** 103577-45-3, Lansoprazole  
 RL: ANT (Analyte); ANST (Analytical study) (spectrophotometric methods for determination of lansoprazole and **pantoprazole** in pure and dosage forms)  
 IT 84-58-2, 2,3-Dichloro-5,6-dicyano-1,4-benzoquinone 7553-56-2, Iodine, uses  
 RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (spectrophotometric methods for determination of lansoprazole and **pantoprazole** in pure and dosage forms)

L2 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
 ACCESSION NUMBER: 1999:809044 CAPLUS  
 DOCUMENT NUMBER: 132:284325  
 TITLE: Spectrophotometric methods for the determination of lansoprazole and **pantoprazole sodium sesquihydrate**  
 AUTHOR(S): Moustafa, Azza A. M.  
 CORPORATE SOURCE: Department of Analytical Chemistry, Faculty of Pharmacy, Cairo University, Cairo, Egypt  
 SOURCE: Bulletin of the Faculty of Pharmacy (Cairo University) (1999), 37(2), 9-18  
 CODEN: BFPHA8; ISSN: 1110-0931  
 PUBLISHER: Cairo University, Faculty of Pharmacy  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Spectrophotometric procedures for the determination of two irreversible proton pump inhibitors, lansoprazole (I) and **pantoprazole sodium sesquihydrate** (II) are presented. Two methods are based on charge transfer complexation reaction of these drugs, where they act as n-donor, with either  $\pi$  acceptor 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (DDQ) and with  $\sigma$  acceptor as iodine. A third method was also investigated depends on ternary complex formation with eosin and copper (II). The colored products are quantified spectrophotometrically using absorption bands at 457 nm for DDQ (method A), at 293 nm and 359 nm for iodine (method B) and at 549 nm using ternary complex formation (method C), for both drugs. The molar combining ratio and the optimum assay conditions were studied. The methods determined the lansoprazole in concentration ranges from 10 - 90, 1.48 - 6.65 and 3.69 - 16.61  $\mu\text{g.ml}^{-1}$  with mean percentage recovery 99.63% for DDQ, 99.71%, 99.18% for iodine and 99.76% for ternary complex and with relative standard deviation 0.11, 0.24, 0.13 and 0.36% resp. For **pantoprazole**, the concentration ranges were from 10 - 60, 17.7 - 141.6 and 4.3 - 25.9  $\mu\text{g.ml}^{-1}$  with mean

percentage recovery 99.51, 98.97, 99.84 and 99.46% and relative standard deviation 0.53, 1.21, 0.65, 0.81% for the three mentioned methods resp. Investigation of the formed complexes was made with respect to its composition, molar ratio of the reaction, association constant KCAD, molar absorptivity ελAD and free energy change ΔG for methods (A) and (B). The proposed methods have been applied successfully to the anal. of the cited drugs either in pure form or in pharmaceutical formulations, with good accuracy and precision, compared statistically with those given by the reported methods. They are recommended for quality control and routine anal.

- REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
- TI Spectrophotometric methods for the determination of lansoprazole and **pantoprazole sodium sesquihydrate**
- AB Spectrophotometric procedures for the determination of two irreversible proton pump inhibitors, lansoprazole (I) and **pantoprazole sodium sesquihydrate** (II) are presented. Two methods are based on charge transfer complexation reaction of these drugs, where they act as n-donor, with either π acceptor 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (DDQ) and with σ acceptor as iodine. A third method was also investigated depends on ternary complex formation with eosin and copper (II). The colored products are quantified spectrophotometrically using absorption bands at 457 nm for DDQ (method A), at 293 nm and 359 nm for iodine (method B) and at 549 nm using ternary complex formation (method C), for both drugs. The molar combining ratio and the optimum assay conditions were studied. The methods determined the lansoprazole in concentration ranges from 10 - 90, 1.48 - 6.65 and 3.69 - 16.61 µg.ml-1 with mean percentage recovery 99.63% for DDQ, 99.71%, 99.18% for iodine and 99.76% for ternary complex and with relative standard deviation 0.11, 0.24, 0.13 and 0.36% resp. For **pantoprazole**, the concentration ranges were from 10 - 60, 17.7 - 141.6 and 4.3 - 25.9 µg.ml-1 with mean percentage recovery 99.51, 98.97, 99.84 and 99.46% and relative standard deviation 0.53, 1.21, 0.65, 0.81% for the three mentioned methods resp. Investigation of the formed complexes was made with respect to its composition, molar ratio of the reaction, association constant KCAD, molar absorptivity ελAD and free energy change ΔG for methods (A) and (B). The proposed methods have been applied successfully to the anal. of the cited drugs either in pure form or in pharmaceutical formulations, with good accuracy and precision, compared statistically with those given by the reported methods. They are recommended for quality control and routine anal.
- ST lansoprazole **pantoprazole** detn spectrophotometry complexation
- IT Spectrophotometry  
(spectrophotometric methods for determination of lansoprazole and **pantoprazole sodium sesquihydrate**)
- IT 102625-70-7, **Pantoprazole** 103577-45-3, Lansoprazole  
RL: ANT (Analyte); ANST (Analytical study)  
(spectrophotometric methods for determination of lansoprazole and **pantoprazole sodium sesquihydrate**)
- IT 84-58-2, 2,3-Dichloro-5,6-dicyano-1,4-benzoquinone 7440-50-8, Copper,  
uses 7553-56-2, Iodine, uses 17372-87-1, Eosin  
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
(spectrophotometric methods for determination of lansoprazole and **pantoprazole sodium sesquihydrate**)
- L2 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 1999:390376 CAPLUS  
DOCUMENT NUMBER: 131:23551  
TITLE: Novel administration form comprising an acid-labile active compound  
INVENTOR(S): Linder, Rudolf; Dietrich, Rango  
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik GmbH, Germany

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929320	A1	19990617	WO 1998-EP8036	19981208
W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19754324	A1	19990610	DE 1997-19754324	19971208
DE 19822549	A1	19991125	DE 1998-19822549	19980520
CA 2310585	AA	19990617	CA 1998-2310585	19981208
AU 9921600	A1	19990628	AU 1999-21600	19981208
AU 751066	B2	20020808		
EP 1037634	A1	20000927	EP 1998-965801	19981208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200000329	A	20010815	EE 2000-200000329	19981208
JP 2001525366	T2	20011211	JP 2000-523991	19981208
US 6328993	B1	20011211	US 2000-530944	20000622
US 2004022854	A1	20040205	US 2003-423002	20030425
PRIORITY APPLN. INFO.:			DE 1997-19754324	A 19971208
			DE 1998-19822549	A 19980520
			WO 1998-EP8036	W 19981208
			US 2000-530944	A3 20000622
			US 2001-983990	A3 20011026

AB Novel administration form for acid-labile active compds. are described. The novel administration forms have no enteric layers and are suitable for oral administration. The acid-labile active compound is an acid-labile proton pump inhibitor. Cholesterol 7 g and Ethocel 5 g were dissolved in 100 mL dichloromethane and 5 g **pantoprazole sodium sesquihydrate** was suspended in the solution. The suspension was spray-dried to give a white free-flowing powder. Tablets were prepared from the granules containing mannitol, Kollidon-30, xanthan gum, and the above powder.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB Novel administration form for acid-labile active compds. are described. The novel administration forms have no enteric layers and are suitable for oral administration. The acid-labile active compound is an acid-labile proton pump inhibitor. Cholesterol 7 g and Ethocel 5 g were dissolved in 100 mL dichloromethane and 5 g **pantoprazole sodium sesquihydrate** was suspended in the solution. The suspension was spray-dried to give a white free-flowing powder. Tablets were prepared from the granules containing mannitol, Kollidon-30, xanthan gum, and the above powder.

ST oral antiulcer sterol polymer fatty alc; **pantoprazole cholesterol cellulose tablet**

IT 57-87-4, Ergosterol 57-88-5, Cholesterol, biological studies 79-63-0, Lanosterol 83-46-5 83-48-7, Stigmasterol 112-72-1, Myristyl alcohol 112-92-5, Stearyl alcohol 474-62-4, Campesterol 474-67-9, Brassicasterol 9003-20-7, Polyvinyl acetate 9003-39-8, Polyvidone 9004-57-3, Ethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-67-5, Methyl cellulose 25086-89-9, Vinylacetate-vinylpyrrolidone copolymer 36653-82-4, Cetyl alcohol 73590-58-6, Omeprazole 102625-70-7, **Pantoprazole** 103577-45-3, Lansoprazole

117976-89-3, Rabeprazole 164579-32-2  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (oral formulations containing acid-labile drug particles surrounded with  
 sterols and polymers and/or fatty alcs.)

L2 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:388074 CAPLUS

DOCUMENT NUMBER: 131:23549

TITLE: Novel suppository form comprising an acid-labile active compound

INVENTOR(S): Linder, Rudolf; Dietrich, Rango

PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik GmbH, Germany

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929299	A1	19990617	WO 1998-EP7946	19981208
W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19754324	A1	19990610	DE 1997-19754324	19971208
DE 19822549	A1	19991125	DE 1998-19822549	19980520
CA 2312493	AA	19990617	CA 1998-2312493	19981208
AU 9924130	A1	19990628	AU 1999-24130	19981208
AU 748209	B2	20020530		
EP 1037607	A1	20000927	EP 1998-966609	19981208
EP 1037607	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200000331	A	20010815	EE 2000-200000331	19981208
JP 2001525355	T2	20011211	JP 2000-523971	19981208
AT 260090	E	20040315	AT 1998-966609	19981208
US 6383510	B1	20020507	US 2000-554079	20000706
US 2002090397	A1	20020711	US 2002-96288	20020313
US 6607742	B2	20030819		
PRIORITY APPLN. INFO.:			DE 1997-19754324	A 19971208
			DE 1998-19822549	A 19980520
			WO 1998-EP7946	W 19981208
			US 2000-554079	A3 20000706

AB A new administration form for acid-labile active compds. is described.  
 The administration form is a suppository, in particular for rectal administration. Cholesterol 7 g and Ethocel 5 g were dissolved in 100 mL dichloromethane and 5 g **pantoprazole sodium sesquihydrate** was suspended in the solution. The suspension was spray-dried to give a white free-flowing powder. The powder was introduced to 194.7 g suppository base (Adeps solidus) and the obtained suspension was cast into suppositories of 2.1 g each.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A new administration form for acid-labile active compds. is described.  
 The administration form is a suppository, in particular for rectal administration. Cholesterol 7 g and Ethocel 5 g were dissolved in 100 mL dichloromethane and 5 g **pantoprazole sodium sesquihydrate** was suspended in the solution. The suspension was spray-dried to give a white free-flowing powder. The powder was

introduced to 194.7 g suppository base (Adeps solidus) and the obtained suspension was cast into suppositories of 2.1 g each.

ST suppository acid labile proton pump inhibitor; **pantoprazole**  
cholesterol Ethocel suppository

IT 57-87-4, Ergosterol 57-88-5, Cholesterol, biological studies 79-63-0,  
Lanosterol 83-46-5 83-48-7, Stigmasterol 112-72-1, Myristyl alcohol  
112-92-5, Stearyl alcohol 474-62-4, Campesterol 474-67-9,  
Brassicasterol 9003-20-7, Polyvinyl acetate 9003-39-8, Polyvidone  
9004-57-3, Ethyl cellulose 9004-64-2, Hydroxypropyl cellulose  
9004-67-5, Methyl cellulose 25086-89-9, Vinylacetate-vinylpyrrolidone  
copolymer 36653-82-4, Cetyl alcohol 73590-58-6, Omeprazole  
102625-70-7, **Pantoprazole** 103577-45-3, Lansoprazole  
117976-89-3, Rabeprazole 164579-32-2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(suppositories containing acid-labile drug particles surrounded with  
sterols and polymers and/or fatty alcs.)

L2 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:659479 CAPLUS

DOCUMENT NUMBER: 123:40932

TITLE: Preparation of a lyophilized, water-reconstitutable  
formulation of **Pantoprazole sodium**  
**sesquihydrate**

PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik GmbH, Germany

SOURCE: Ger. Offen., 3 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4324014	A1	19950119	DE 1993-4324014	19930717
DE 4324014	C2	19950608		

PRIORITY APPLN. INFO.: DE 1993-4324014 19930717

AB A water-reconstitutable preparation of **Pantoprazole sodium**  
**sesquihydrate** (I) can be formulated by lyophilizing it in the  
presence of an aqueous solution of sucrose at -25 to -30° C. The  
lyophilizate exhibits easy reconstitutability and good shelf-life  
properties. Thus, to produce 700 single doses a solution is prepared  
containing

31.57 g of I plus 25.31 g sucrose in 1208.72 g water for injection. The  
700 vials are then filled each with 1.8 mL of this solution and lyophilized.  
Each vial then contains 45.1 mg I, which can be reconstituted by adding 10  
mL physiol. saline solution

TI Preparation of a lyophilized, water-reconstitutable formulation of  
**Pantoprazole sodium sesquihydrate**

AB A water-reconstitutable preparation of **Pantoprazole sodium**  
**sesquihydrate** (I) can be formulated by lyophilizing it in the  
presence of an aqueous solution of sucrose at -25 to -30° C. The  
lyophilizate exhibits easy reconstitutability and good shelf-life  
properties. Thus, to produce 700 single doses a solution is prepared  
containing

31.57 g of I plus 25.31 g sucrose in 1208.72 g water for injection. The  
700 vials are then filled each with 1.8 mL of this solution and lyophilized.  
Each vial then contains 45.1 mg I, which can be reconstituted by adding 10  
mL physiol. saline solution

ST **Pantoprazole** lyophilization formulation

IT Freeze drying

(preparation of a lyophilized, water-reconstitutable formulation of  
**Pantoprazole sodium sesquihydrate**)